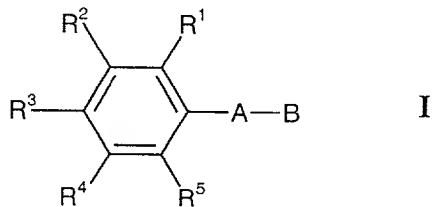


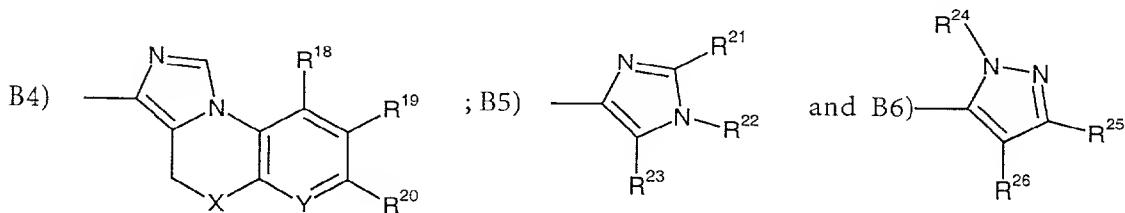
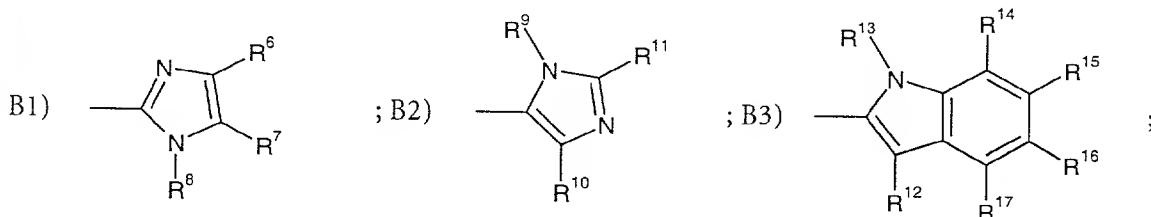
## CLAIMS

1. A method of treating a disease responsive to modulation of the mGluR5a receptors comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of the formula



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen, lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-NRR', -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl or heteroaryl substituted by one or more lower alkyl; R, R' and R" are independently selected from the group consisting of hydrogen or lower alkyl;

A is selected from the group consisting of -CH=CH- and -C≡C-; and  
B is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen or lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituents selected from hydroxy and halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl or nitro;

R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup> are independently selected from the group consisting of hydrogen and lower alkyl;

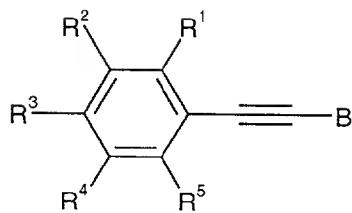
n is 0, 1, 2, 3, 4, 5 or 6;

X selected from the group consisting of -CH<sub>2</sub>-, -O- and -S-; and

Y is selected from the group consisting of -CH= and -N=;

or a pharmaceutically acceptable salt thereof.

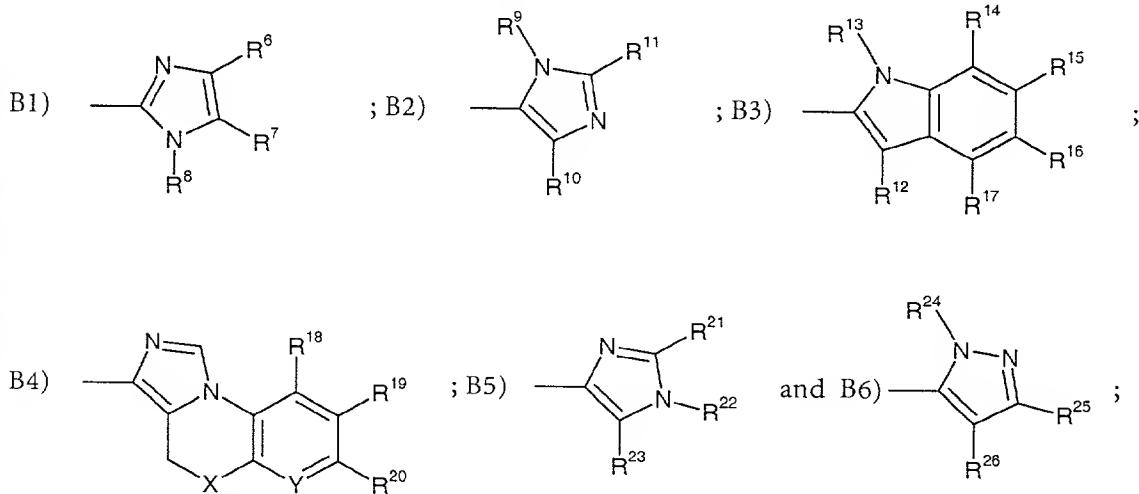
2. A method of treating a disease responsive to modulation of the mGluR5a receptors comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of the formula



I-A

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen, lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-NRR', -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

R, R' and R" are independently selected from the group consisting of, hydrogen or lower alkyl; and B is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

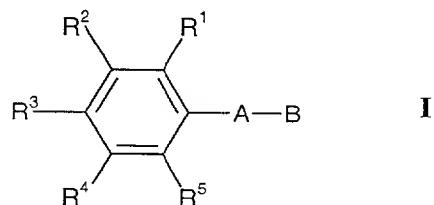
R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroaryl substituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

$R^{10}$  is selected from the group consisting of hydrogen, lower alkyl and halogen;  
 $R^{11}$  is selected from the group consisting of hydrogen and alkyl;  
 $R^{12}$  is  $-(CH_2)_n-N(R)-C(O)-$ lower alkyl;  
 $R^{13}$  is selected from the group consisting of hydrogen or lower alkyl;  
 $R^{14}$ ,  $R^{15}$ ,  $R^{16}$  and  $R^{17}$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen or lower alkoxy;  
 $R^{18}$ ,  $R^{19}$  and  $R^{20}$  are independently selected from the group consisting of, hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen and lower alkoxy;  
 $R^{21}$  is selected from the group consisting of hydrogen and lower alkyl;  
 $R^{22}$  is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from hydroxy or halogen;  
 $R^{23}$  is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;  
 $R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;  
 $n$  is 0, 1, 2, 3, 4, 5 or 6;  
 $X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and  
 $Y$  is selected from the group consisting of  $-CH=$  and  $-N=$ ;  
or a pharmaceutically acceptable salt thereof.

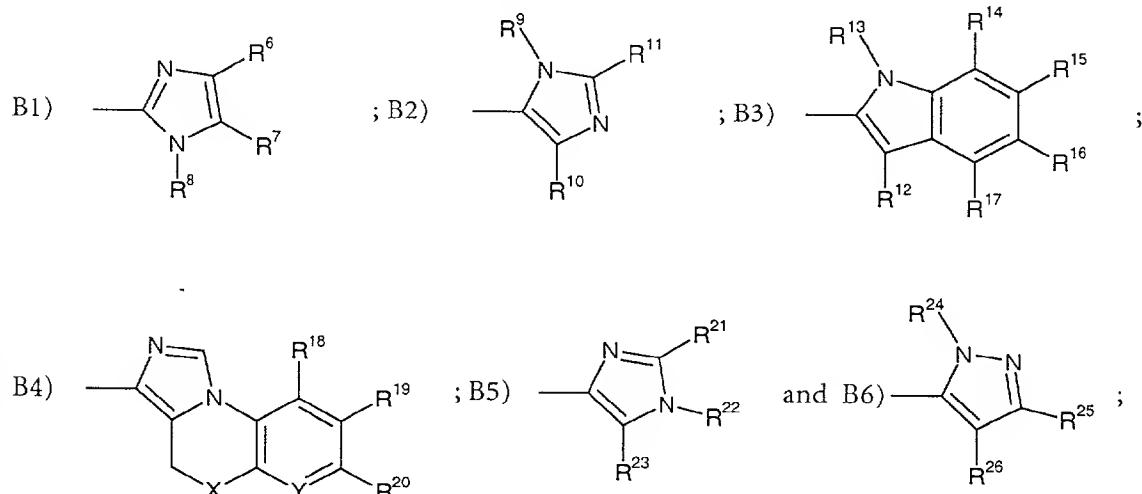
3. A method of treating pain comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of formula



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)-$ lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

R, R' and R'' are independently selected from the group consisting of hydrogen and lower alkyl;

A is selected from the group consisting of -CH=CH- and -C≡C-; and  
B is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR'' and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

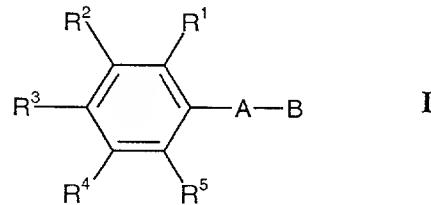
R<sup>13</sup> is selected from the group consisting of hydrogen or lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

$R^{21}$  is selected from the group consisting of hydrogen and lower alkyl;  
 $R^{22}$  is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from hydroxy or halogen;  
 $R^{23}$  is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;  
 $R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;  
 $n$  is 0, 1, 2, 3, 4, 5 or 6;  
 $X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and  
 $Y$  is selected from the group consisting of  $-CH=$  and  $-N=$ ;  
or a pharmaceutically acceptable salt thereof.

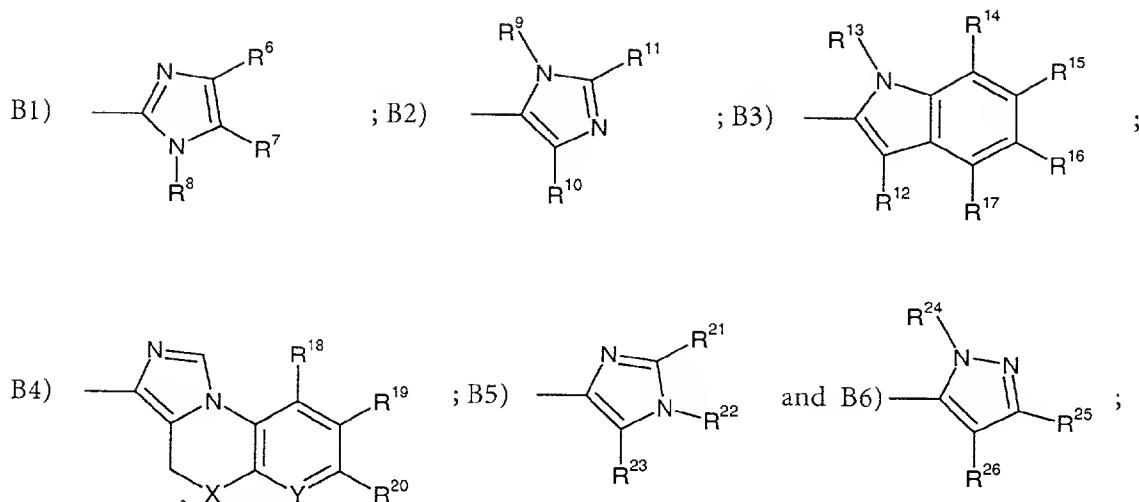
4. A method of treating anxiety or depression comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of formula



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n$ -NRR',  $-(CH_2)_n$ -N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

$R$ ,  $R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl;

$A$  is selected from the group consisting of  $-CH=CH-$  and  $-C\equiv C-$ ; and  
 $B$  is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen or lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from hydroxy or halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;

$R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;

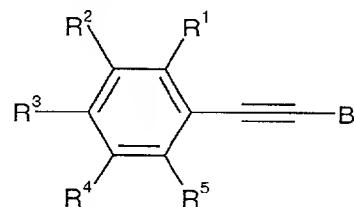
$n$  is 0, 1, 2, 3, 4, 5 or 6;

$X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and

$Y$  is selected from the group consisting of  $-CH=$  and  $-N=$ ;

or a pharmaceutically acceptable salt thereof.

5. A method of treating pain comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of the formula

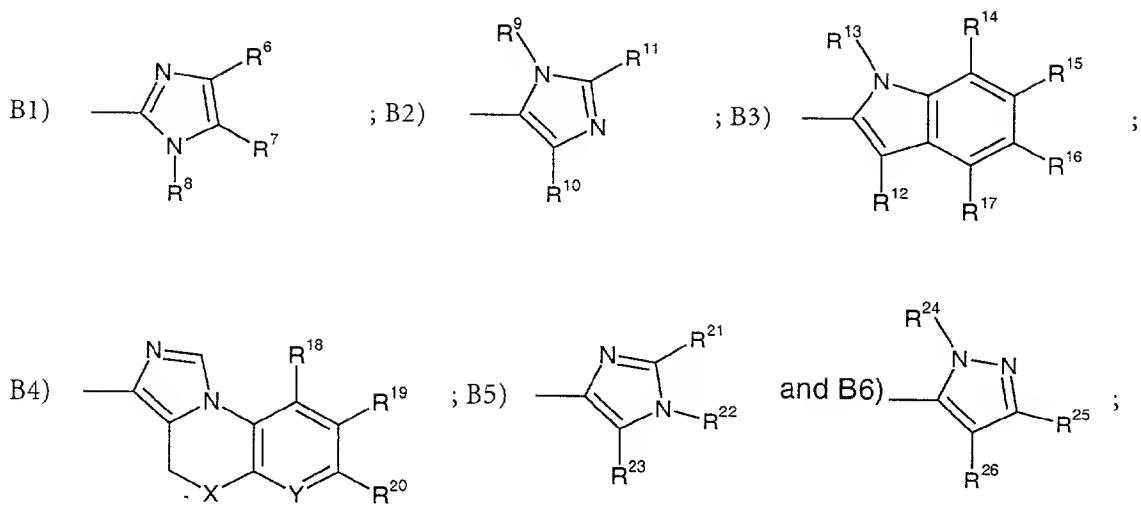


I-A

,

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n$ - $NR'R''$ ,  $-(CH_2)_n$ - $N(R)-C(O)-$  lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

$R$ ,  $R'$  and  $R''$  are independently selected from the group consisting of hydrogen or lower alkyl; and  $B$  is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro or unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from hydroxy or halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl or nitro;

$R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;

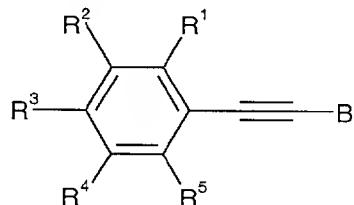
$n$  is 0, 1, 2, 3, 4, 5 or 6;

$X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and

$Y$  is selected from the group consisting of  $-CH=$  and  $-N=$ ;

or a pharmaceutically acceptable salt thereof.

6. A method of treating anxiety or depression comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of the formula

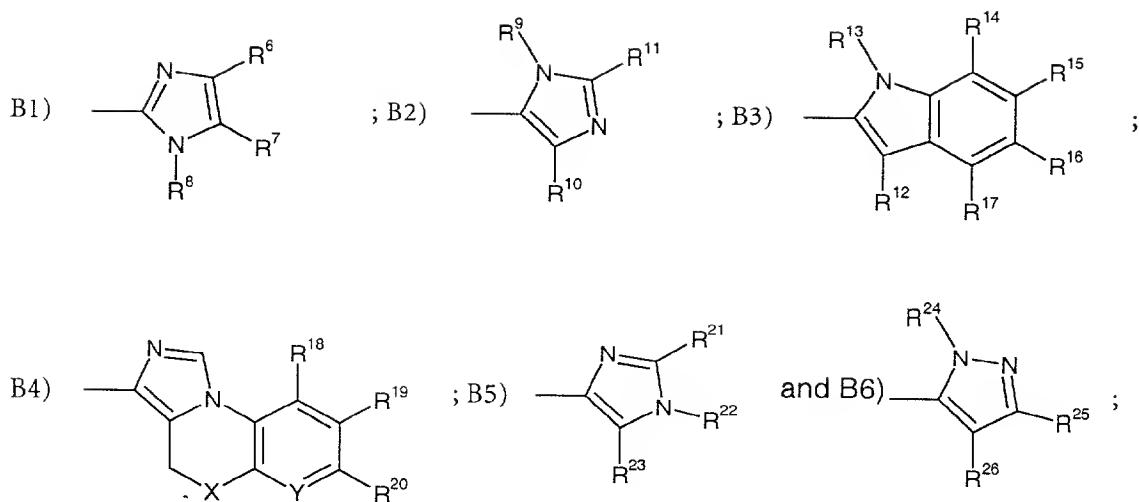


I-A

,

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n$ -NRR',  $-(CH_2)_n$ -N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

$R$ ,  $R'$  and  $R''$  are independently selected from the group consisting of hydrogen or lower alkyl; and  $B$  is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro or unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from hydroxy or halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl or nitro;

$R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;

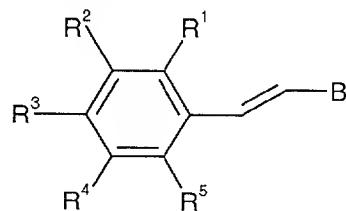
$n$  is 0, 1, 2, 3, 4, 5 or 6;

$X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and

$Y$  is selected from the group consisting of  $-CH=$  and  $-N=$ ;

or a pharmaceutically acceptable salt thereof.

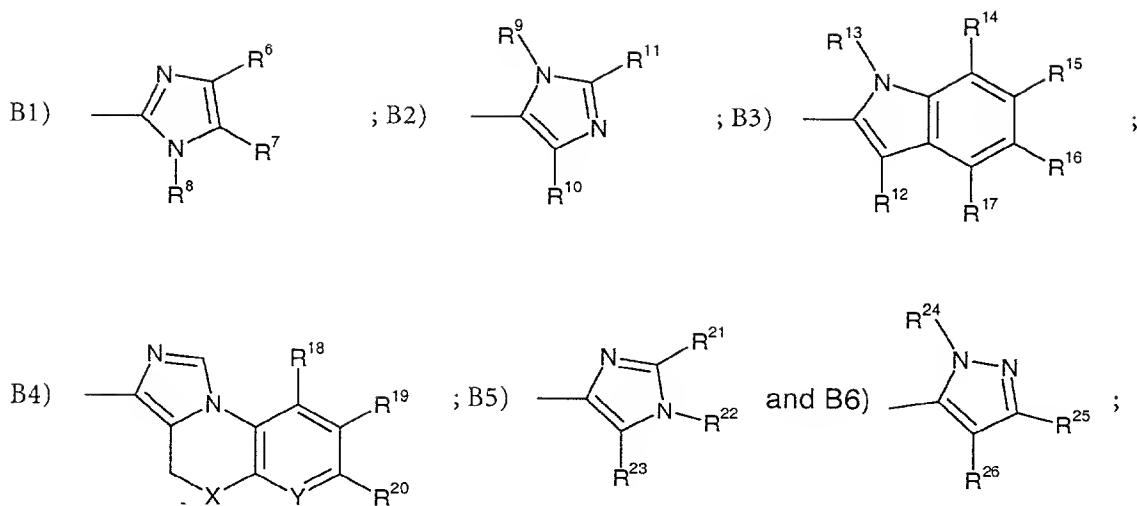
7. A method of treating a disease in a person responsive to modulation of the mGluR5a receptors comprising administering to the person in need of such treatment a therapeutically effective amount of a compound of the compound of formula



I-B

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)-$  lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl residues;

$R$ ,  $R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl and  $B$  is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, and unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from hydroxy and halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;

$R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;

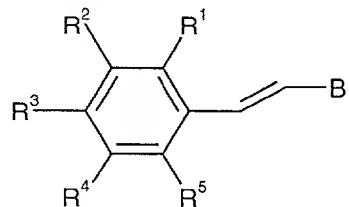
$n$  is 0, 1, 2, 3, 4, 5 or 6;

$X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and

$Y$  is selected from the group consisting of  $-CH=$  and  $-N=$ ;

or a pharmaceutically acceptable salt thereof.

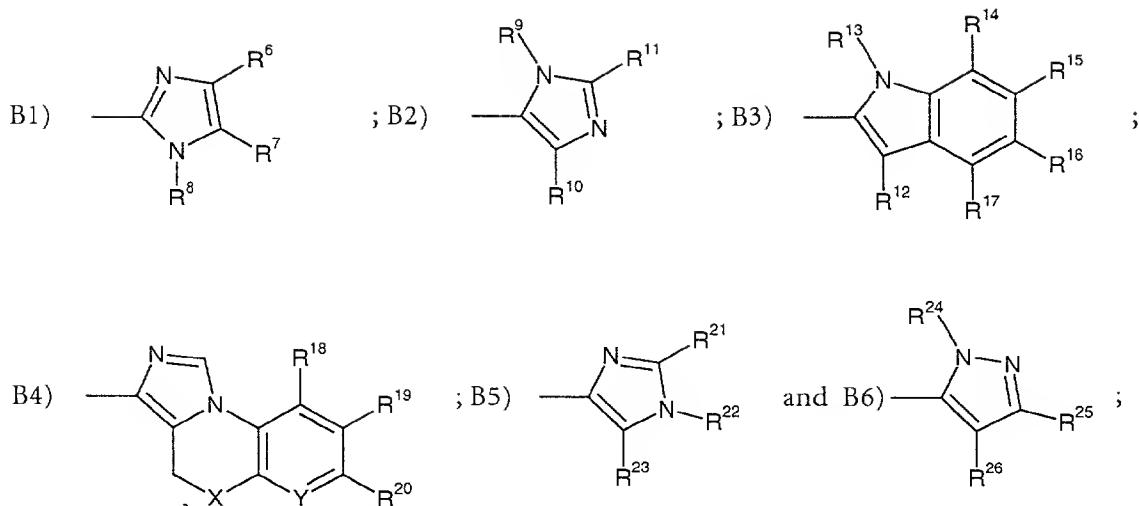
8. A method of treating pain comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of formula



I-B

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n$ - $NR'R''$ ,  $-(CH_2)_n$ - $N(R)-C(O)-$  lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

$R$ ,  $R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl and  $B$  is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from the group consisting of hydroxy or halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;

$R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;

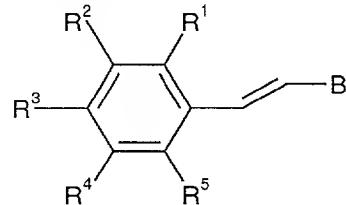
$n$  is 0, 1, 2, 3, 4, 5 or 6;

$X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and

$Y$  is selected from the group consisting of  $-CH=$  or  $-N=$ ;

or a pharmaceutically acceptable salt thereof.

9. A method of treating anxiety or depression comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of formula

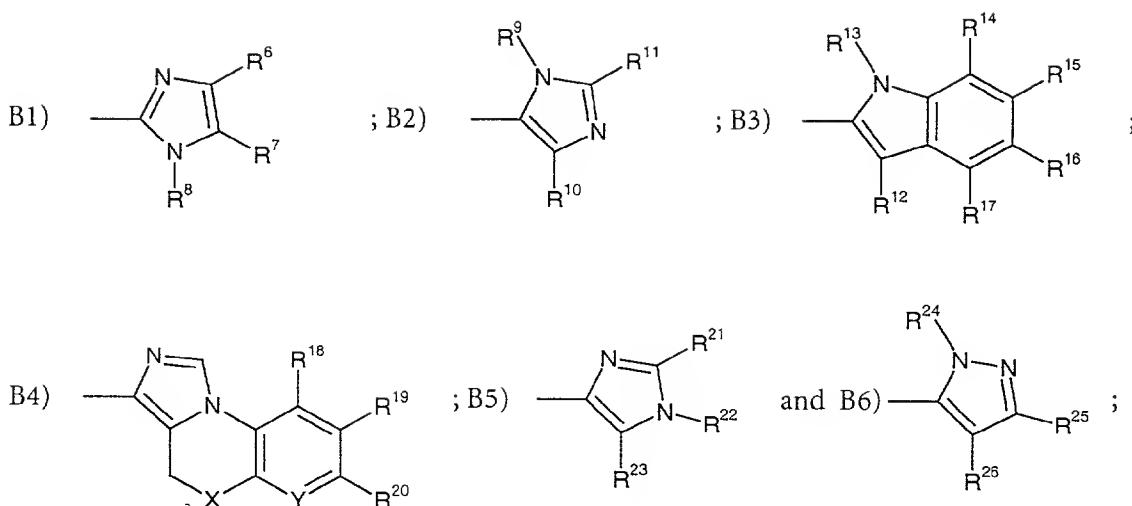


**I-B**

,

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)-$  lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

$R$ ,  $R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl and  $B$  is selected from the group consisting of



wherein R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroarylsubstituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR" and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of, hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl carrying at least one substituent selected from the group consisting of hydroxy or halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;

$R^{24}$ ,  $R^{25}$  and  $R^{26}$  are independently selected from the group consisting of hydrogen and lower alkyl;

$n$  is 0, 1, 2, 3, 4, 5 or 6;

$X$  selected from the group consisting of  $-CH_2-$ ,  $-O-$  and  $-S-$ ; and

$Y$  is selected from the group consisting of  $-CH=$  or  $-N=$ ;

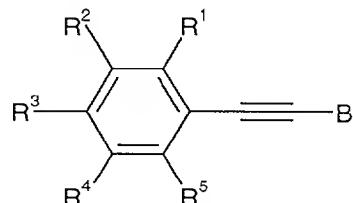
or a pharmaceutically acceptable salt thereof.

10. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula 1 or a pharmaceutically acceptable salt thereof in a racemic or optically active form and a pharmaceutically inert carrier.

11. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula 1A or a pharmaceutically acceptable salt thereof and a pharmaceutically inert carrier.

12. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula 1B or a pharmaceutically acceptable salt thereof and a pharmaceutically inert carrier.

13. A compound of formula



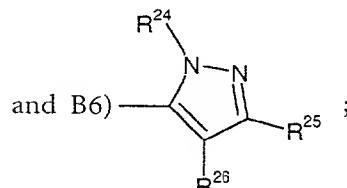
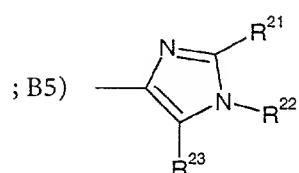
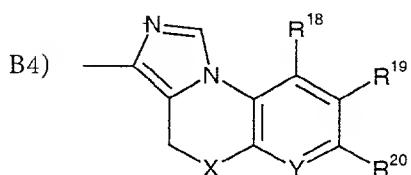
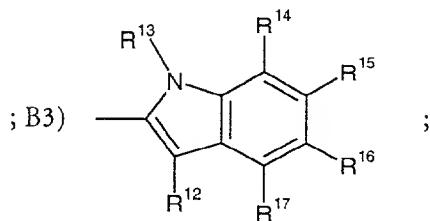
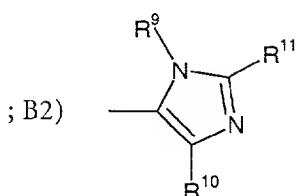
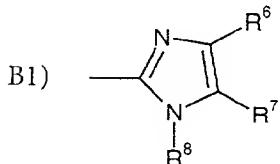
I-A

wherein

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)-$ lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by one or more lower alkyl;

R, R' and R'' are independently selected from the group consisting of hydrogen and lower alkyl;

B is selected from the group consisting of



wherein

R<sup>6</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR and halogen;

R<sup>7</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR', halogen, nitro, unsubstituted heteroaryl and heteroaryl substituted by lower alkyl or cycloalkyl;

R<sup>8</sup> is selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-OH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR'' and aryl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is selected from the group consisting of hydrogen, lower alkyl and halogen;

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

R<sup>12</sup> is (CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl;

R<sup>13</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup> and R<sup>17</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen or lower alkoxy;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen and lower alkoxy;

R<sup>21</sup> is selected from the group consisting of hydrogen and lower alkyl;

R<sup>22</sup> is selected from the group consisting of hydrogen, lower alkyl and lower alkyl substituted by at least one substituent selected from hydroxy or halogen;

R<sup>23</sup> is selected from the group consisting of hydrogen, lower alkyl, lower alkanoyl and nitro;

R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup> are independently selected from the group consisting of hydrogen or lower alkyl;

n is 0, 1, 2, 3, 4, 5 or 6;

X is selected from the group consisting of -CH<sub>2</sub>-, -O- and -S-; and

Y is selected from the group consisting of -CH= and -N=;

or a pharmaceutically acceptable salt thereof;

with the exception of

1-methyl-2-phenylethynyl-1H-imidazole,

1-methyl-2-(4-methoxy-phenylethynyl)-1H-imidazole,

1-methyl-5-phenylethynyl-1H-imidazole, and

1-methyl-4-phenylethynyl-1H-imidazole.

14. A compound according to claim 13, wherein B signifies B1.

15. A compound according to claim 14, wherein R<sup>7</sup> signifies -(CH<sub>2</sub>)<sub>n</sub>-C(O)OR' or unsubstituted heteroaryl or heteroaryl substituted by lower alkyl or cycloalkyl.

16. A compound selected from the group consisting of

3,5-dimethyl-2-phenylethynyl-3H-imidazole-4-carboxylic acid ethyl ester,

5-methyl-2-phenylethynyl-3H-imidazole-4-carboxylic acid ethyl ester,

2-(3-methoxy-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,

2-(2,6-dichloro-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,

5-methyl-1-phenyl-2-phenylethynyl-1H-imidazole-4-carboxylic acid ethyl ester,

3,5-dimethyl-2-m-tolyethynyl-3H-imidazole-4-carboxylic acid ethyl ester,

2-(3-acetylamo-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,

2-[3-(2,5-dimethyl-pyrrol-1-yl)-phenylethynyl]-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,

5-(3,5-dimethyl-2-phenylethynyl-3H-imidazol-4-yl)-3-methyl-[1,2,4]oxadiazole,  
3-cyclopropyl-5-(3,5-dimethyl-2-phenylethynyl-3H-imidazol-4-yl)-[1,2,4]oxadiazole,  
2-(4-chloro-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,  
2-(4-fluoro-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,  
2-biphenyl-4-ylethynyl-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester,  
2-(2-fluoro-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester, and  
2-(4-amino-phenylethynyl)-3,5-dimethyl-3H-imidazole-4-carboxylic acid ethyl ester.

17. A compound selected from the group consisting of

2-(5-nitro-2-phenylethynyl-imidazol-1-yl)-ethanol,  
2-phenylethynyl-1H-imidazole,  
2-(2-fluoro-phenylethynyl)-1-methyl-1H-imidazole,  
2-(2-chloro-phenylethynyl)-1-methyl-1H-imidazole and  
(4,5-dichloro-2-phenylethynyl-imidazol-1-yl)-acetic acid ethyl ester.

18. A compound, N-[2-(5-methoxy-2-phenylethynyl-1H-indol-3-yl)-ethyl]-acetamide.

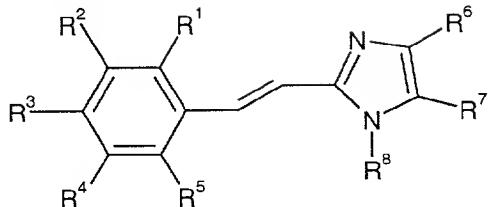
19. A compound selected from the group consisting of

3-phenylethynyl-4H-5-thia-2,6,9b-triaza-cyclopenta[a]naphthalene and  
3-phenylethynyl-4H-5-oxa-2,9b-diaza-cyclopenta[a]naphthalene.

20. A compound selected from the group consisting of

1-chloro-3-(2-methyl-5-nitro-4-phenylethynyl-imidazol-1-yl)-propan-2-ol,  
3-methyl-5-phenylethynyl-3H-imidazole-4-carbaldehyde,  
4-phenylethynyl-1H-imidazole and  
1,2-dimethyl-5-nitro-4-phenylethynyl-1H-imidazole.

21. A compound of formula



**I-B-1**

wherein

$R^1, R^2, R^3, R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)$ -lower alkyl, aryl or unsubstituted heteroaryl, heteroaryl substituted by at least one lower alkyl;

$R, R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl;

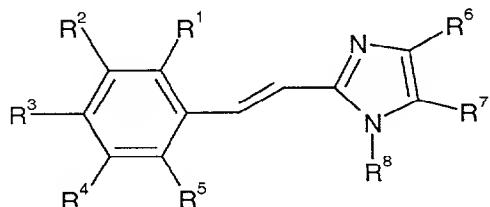
$R^6$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-C(O)OR$  and halogen;

$R^7$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-C(O)OR'$ , halogen, nitro, unsubstituted heteroaryl and heteroaryl substituted by lower alkyl or cycloalkyl; and

$R^8$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-OH$ ,  $-(CH_2)_n-C(O)OR''$  or aryl;

or a pharmaceutically acceptable salt thereof.

22. A method of treating pain comprising administering to a person in need of such treatment a compound of formula



**I-B-1**

wherein

$R^1, R^2, R^3, R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)$ -lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by at least one lower alkyl;

$R, R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl;

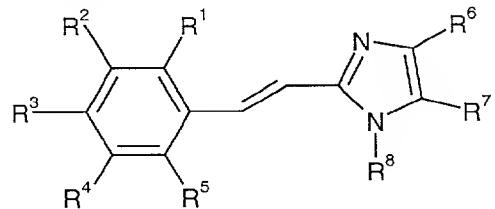
$R^6$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-C(O)OR$  and halogen;

$R^7$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-C(O)OR'$ , halogen, nitro, unsubstituted heteroaryl and heteroaryl substituted by lower alkyl or cycloalkyl; and

$R^8$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-OH$ ,  $-(CH_2)_n-C(O)OR''$  and aryl;

or a pharmaceutically acceptable salt thereof.

23. A method of treating anxiety or depression comprising administering to a person in need of such treatment a compound of formula



I-B-1

wherein

$R^1, R^2, R^3, R^4$  and  $R^5$  are independently selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n$ -halogen, lower alkoxy,  $-(CH_2)_n-NRR'$ ,  $-(CH_2)_n-N(R)-C(O)$ -lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by at least one lower alkyl;

$R, R'$  and  $R''$  are independently selected from the group consisting of hydrogen and lower alkyl;

$R^6$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-C(O)OR$  and halogen;

$R^7$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-C(O)OR'$ , halogen, nitro, unsubstituted heteroaryl and heteroaryl substituted by lower alkyl or cycloalkyl; and

$R^8$  is selected from the group consisting of hydrogen, lower alkyl,  $-(CH_2)_n-OH$ ,  $-(CH_2)_n-C(O)OR''$  and aryl;

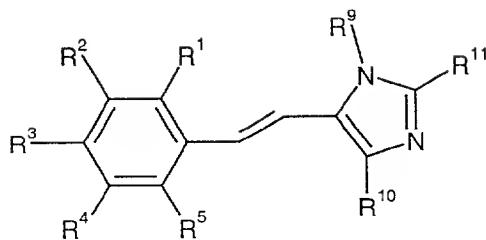
or a pharmaceutically acceptable salt thereof.

24. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula 1B-1 or a pharmaceutically acceptable salt thereof and a pharmaceutically inert carrier.

25. A compound according to claim 21, wherein  $R^7$  signifies lower alkyl or  $-(CH_2)_n-C(O)OR'$ .

26. A compound selected from the group consisting of 4,5-diisopropyl-1-methyl-2-styryl-1H-imidazole, 2-[2-(4-fluoro-phenyl)-vinyl]-4,5-diisopropyl-1-methyl-1H-imidazole, 2-[2-(4-chloro-phenyl)-vinyl]-4,5-diisopropyl-1-methyl-1H-imidazole, 2-[2-(4-butoxy-phenyl)-vinyl]-4,5-diisopropyl-1-methyl-1H-imidazole, 4,5-diisopropyl-2-[2-(4-methoxy-2,3,6-trimethyl-phenyl)-vinyl]-1-methyl-1H-imidazole, 4,5-diisopropyl-2-[2-(4-methoxy-phenyl)-vinyl]-1-methyl-1H-imidazole, 2-[2-(4-chloro-3-fluoro-phenyl)-vinyl]-4,5-diisopropyl-1-methyl-1H-imidazole, 2-[2-(4-ethoxy-phenyl)-vinyl]-4,5-diisopropyl-1-methyl-1H-imidazole, 4,5-diisopropyl-1-methyl-2-[2-(2,3,4-trimethoxy-phenyl)-vinyl]-1H-imidazole, 2-[2-(2,4-dichloro-phenyl)-vinyl]-4,5-diisopropyl-1-methyl-1H-imidazole and 4,5-diisopropyl-1-methyl-2-(2-p-tolyl-vinyl)-1H-imidazole.

27. A compound of formula



### I-B-2

wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen, lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-NRR', -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by at least one lower alkyl;

R and R' are independently selected from the group consisting of hydrogen and lower alkyl;

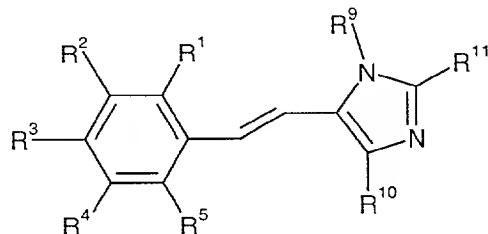
R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is halogen; and

R<sup>11</sup> is selected from the group consisting of hydrogen and alkyl;

or a pharmaceutically acceptable salt thereof.

28. A method of treating pain comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of formula



### I-B-2

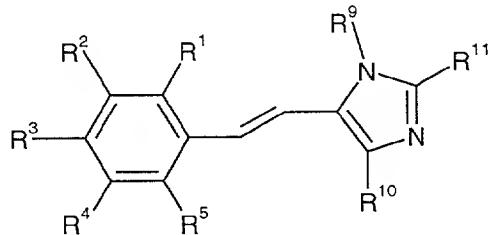
wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen, lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-NRR', -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by at least one lower alkyl residues;

R and R' are selected from the group consisting of hydrogen or lower alkyl;

R<sup>9</sup> is lower alkyl;  
R<sup>10</sup> is halogen; and  
R<sup>11</sup> is selected from the group consisting of hydrogen or alkyl;  
and a pharmaceutically acceptable salt thereof.

29. A method of treating anxiety or depression comprising administering to a person in need of such treatment a therapeutically effective amount of a compound of formula



I-B-2

wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently selected from the group consisting of hydrogen, lower alkyl, -(CH<sub>2</sub>)<sub>n</sub>-halogen, lower alkoxy, -(CH<sub>2</sub>)<sub>n</sub>-NRR', -(CH<sub>2</sub>)<sub>n</sub>-N(R)-C(O)-lower alkyl, aryl, unsubstituted heteroaryl and heteroaryl substituted by at least one lower alkyl residues;

R and R' are selected from the group consisting of hydrogen or lower alkyl;

R<sup>9</sup> is lower alkyl;

R<sup>10</sup> is halogen; and

R<sup>11</sup> is selected from the group consisting of hydrogen or alkyl;

and a pharmaceutically acceptable salt thereof.

30. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula 1B-2 or a pharmaceutically acceptable salt thereof and a pharmaceutically inert carrier.

31. A compound, 4-bromo-1-methyl-5-styryl-1H-imidazole.